



## **1.6.1**

# **Prescribing Information (Summary of Product Characteristics)**



## Module-1 Administrative Information and Product Information

### 1.6.1.1 Name of the medicinal Product

LOPERAMIDE HYDROCHLORIDE CAPSULES USP 2 MG

#### 1.6.1.1.1 strength

2 MG

#### 1.6.1.1.2 Pharmaceutical Form

Oral Capsule

### 1.6.1.2 Qualitative and Quantitative Composition

#### 1.6.1.2.1 Qualitative declaration

Loperamide Hydrochloride USP

#### 1.6.1.2.2 Quantitative declaration

<i>Sr. No.</i>	<i>Ingredients</i>	<i>Specifications</i>	<i>Standard Quantity/Capsule (mg)</i>	<i>Reason for Inclusion</i>
01	Loperamide Hydrochloride	USP	2.000	Antidiarrheal
02	Lactose Monohydrate	USP/NF	71.00	Diluent
03	Pregelatinised Starch (Starch 1500)	BP	46.500	Diluent
04	Colloidal Anhydrous Silica (Aerosil)	BP	2.000	Glidant
05	Croscarmellose Sodium	USP/NF	6.000	Disintegrant
06	Magnesium Stearate	BP	1.500	Lubricant
07	Dark Green/Purple Size "4" Hard Gelatin Empty Capsule	IHS	1 Unit	Empty Hard Gelatin Capsules

#### 1.6.1.3 Pharmaceutical Form

Oral Capsules



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**Module-1 Administrative Information and Product Information**

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Dark green/ purple colour, Size “4” hard gelatin capsule containing white to off white powder.

#### **1.6.1.4 Clinical Particulars**

##### **1.6.1.4.1 Therapeutic Indications**

Loperamide hydrochloride capsule is indicated for the control and symptomatic relief of acute nonspecific diarrhea and of chronic associated with inflammatory bowel disease. Loperamide hydrochloride capsule are also indicated for reducing the volume of discharge from ileostomies. It can be used for traveler’s diarrhea.

##### **1.6.1.4.2 Posology and Method of Administration**

**Adults: Acute diarrhea:** Initial: 4 mg (two capsules), followed by 2 mg (one capsule) after each loose stool, up to 16 mg/day.

**Chronic diarrhea:** Initial: Follow acute diarrhea; maintenance dose should be slowly titrated downward to minimum required to control symptoms (typically, 4-8 mg /day in divided doses).

**Traveler’s diarrhea:** Initial: 4 mg after first loose stool, followed by 2 mg after each subsequent stool (maximum dose: 8 mg/day).

**Elderly:** Refer to adult dosing.

**Pediatric:** Acute diarrhea: Initial doses (in first 24 hours).

6-8 years (20-30 kg): 2 mg (one capsule) twice daily.

8-12 years (>30 kg): 2 mg (one capsule) 3 times/day.

**Maintenance:** After initial dosing, 0.1 mg/kg doses after each loose stool, but not exceeding initial dosage.

**Traveler’s diarrhea:** 6-8 years: 2 mg (one capsule) after first loose stool, followed by 1 mg after each subsequent stool (maximum dose: 4 mg/day).

9-11 years: 2 mg (one capsule) after first loose stool, followed by 1 mg after each subsequent stool (maximum dose: 6 mg/day). ≥12 years: Refer to adult dosing.

##### **1.6.1.4.3 Contraindications**

Loperamide Hydrochloride capsule is contraindicated in patients with a known hypersensitivity to Loperamide hydrochloride or to any of the excipients.

In children less than 6 years of age.



## **Module-1 Administrative Information and Product Information**

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In patients with acute dysentery, which is characterized by blood in stools and high fever.

In patients with acute ulcerative colitis.

In patients with bacterial enterocolitis caused by invasive organisms including salmonella, Shigella and Campylobacter.

In patients with pseudomembranous colitis associated with the use of broad-spectrum antibiotics.

### **1.6.1.4.4 Special Warnings and Special Precautions for Use**

In patients with diarrhea, especially in children, frail and elderly patients, fluid and electrolyte depletion may occur. In such cases administration of appropriate fluid and electrolyte replacement therapy is the most important measure.

In acute diarrhea, if clinical improvement is not observed within 48 hours, the administration of Loperamide HCl should be discontinued and patients should be advised to consult their physician.

Treatment of diarrhea with Loperamide hydrochloride is only symptomatic. Whenever an underlying etiology can be determined, specific treatment should be given when appropriate. Patients with AIDS treated with Loperamide HCl for diarrhea should have therapy at the earliest signs of abdominal distension. There have been obstipation with an increased risk for toxic megacolon in AIDS patients with infectious colitis from both viral and bacterial pathogens treated with Loperamide HCl.

Impaired hepatic Function: Loperamide HCl should be used with caution in such patients because of reduced first pass metabolism. It must be used with caution in patients with hepatic impairment as it may result in a relative overdose leading to CNS toxicity.

Impaired renal function: No dose adjustment is required for patients with renal impairment.

Pregnancy: Pregnancy Category B: There are no adequate and well-controlled studies in pregnant women. Loperamide should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus.

Lactation: Small amounts of Loperamide may appear in human breast milk. Therefore, this medicine is not recommended during breast-feeding.

### **1.6.1.4.5 Interaction with other medicinal products and other forms of interaction**



## **Module-1 Administrative Information and Product Information**

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**Ketoconazole:** The concomitant administration of Loperamide (16 mg single dose) and ketoconazole, an inhibitor of CYP3A4 and P-glycoprotein, resulted in a 5-fold increase in Loperamide plasma concentrations.

**Itraconazole:** The concomitant administration of Loperamide (4 mg single dose) and Itraconazole, an inhibitor of CYP3A4 and P-glycoprotein, resulted in a 3 to 4-fold increase in Loperamide plasma concentrations.

**Desmopressin:** Concomitant treatment with oral desmopressin resulted in a 3-fold increase of desmopressin plasma concentrations.

**P-glycoprotein substance inhibitors:** Concomitant administration of Loperamide (16 mg single dose) with quinidine, or ritonavir, which are both P-glycoprotein, resulted in a 2 to 3 - fold increase in Loperamide plasma levels.

### **1.6.1.4.6 Fertility, Pregnancy and Lactation**

**Pregnancy:** Pregnancy Category B: There are no adequate and well-controlled studies in pregnant women. Loperamide should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus.

**Lactation:** Small amounts of Loperamide may appear in human breast milk. Therefore, this medicine is not recommended during breast-feeding.

### **1.6.1.4.7 Effects on ability To Drive and use Machines**

None expected at recommended doses and duration of therapy.

### **1.6.1.4.8 Undesirable Effects**

Central nervous system: Dizziness, headache

Gastrointestinal: Constipation, abdominal cramping, nausea

### **1.6.1.4.9 Overdose**

**Symptoms:** In case of overdose (including relative overdose due to hepatic dysfunction), CNS depression (stupor, coordination abnormality, somnolence, miosis, muscular hypertonia and respiratory depression), constipation, urinary retention and ileus may occur. Children and patients with hepatic dysfunction may be more sensitive to CNS effects.



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**Module-1 Administrative Information and Product Information**

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Treatment: If symptoms of overdose occur, naloxone can be given as an antidote. Since the duration of action of Loperamide is longer than that of naloxone (1 to 3 hours). Repeated treatment with naloxone with might be indicated.

Therefore, the patient should be monitored closely for at least 48 hours in order to detect possible CNS depression.

**1.6.1.5 Pharmacological Properties****1.6.1.5.1 Pharmacodynamics Properties**

Loperamide binds to the opiate receptor in the gut wall, reducing propulsive peristalsis and increasing intestinal transit time. Loperamide increases the tone of the anal sphincter.

**1.6.1.5.2 Pharmacokinetic Properties**

Absorption: More than 65% of a dose of Loperamide is reported to be absorbed from the gastrointestinal tract.

Distribution: Poor penetration into brain; low amounts enter breast milk.

Metabolism and Elimination: The drug undergoes considerable first pass metabolism in the liver and excretion via the bile in the faeces as the inactive conjugate. As a result of the drug's high affinity for the gut wall and its high first pass metabolism very little Loperamide reaches the systemic circulation and therefore there is only a small amount of urinary excretion.

Half-life: The half-life of Loperamide with a range of 7-14 hours.

**1.6.1.5.3 Preclinical Safety Data**

Not Applicable.

**1.6.1.6 Pharmaceutical Particulars****1.6.1.6.1 List of Excipients**

Lactose Monohydrate USP/NF

Pregelatinized Starch (Starch 1500) BP

Colloidal Anhydrous Silica (Aerosil) BP

Croscarmellose Sodium USP-NF



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**Module-1 Administrative Information and Product Information**

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Magnesium Stearate BP

Empty Hard Gelatin Capsules Dark Green/Purple Size "4" IHS

**1.6.1.6.2 Incompatibilities**

Not applicable.

**1.6.1.6.3 Shelf Life**

36 months

**1.6.1.6.4 Special Precautions for Storage**

Store below 30° C. Protect from light and moisture.

**1.6.1.6.5 Nature and Contents of Container**

10 Capsules are packed in Blister pack. Such 10 Blister is packed in a printed carton with a packing insert.

**1.6.1.6.6 Special precaution for disposal and other handling**

Any unused product or waste material should be disposed of in accordance with local requirements.

**1.6.1.7 Marketing Authorization Holder And Manufacturing Site Addresses**

**1.6.1.7.1 Name and Address of Marketing Authorization Holder**

Lincoln Pharmaceuticals Limited

Trimul Estate, Khatraj, Taluka: Kalol,

District: Gandhinagar Gujarat, India.

Telephone no.: +91-79-41078096

Fax: +91-79-41078062

Email: [hiren@lincolnpharma.com](mailto:hiren@lincolnpharma.com)

Website: [www.lincolnpharma.com](http://www.lincolnpharma.com)

**1.6.1.7.2 Name and Address of manufacturing site(s)**



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**Module-1 Administrative Information and Product Information**

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Lincoln Pharmaceuticals Limited  
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District: Gandhinagar Gujarat, India.  
Telephone no.: +91-79-41078096  
Fax: +91-79-41078062  
Email: [hiren@lincolnpharma.com](mailto:hiren@lincolnpharma.com)  
Website: [www.lincolnpharma.com](http://www.lincolnpharma.com)

**1.6.1.8 Marketing Authorization Number**

To be included after obtaining first registration.

**1.6.1.9 Date of First <Registration> / Renewal of The <Registration>**

It will be applicable after registration of this product.

**1.6.1.10 Date of Revision of the Text**

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**1.6.1.11 Dosimetry (If Applicable)**

Not Applicable

**1.6.1.12 Instructions for preparation of radiopharmaceuticals (if Applicable)**

Not Applicable